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L3: Entry 17 of 25

File: USPT

Aug 31, 1999

DOCUMENT-IDENTIFIER: US 5945409 A

TITLE: Topical moisturizing composition and method

Brief Summary Text (9):

Smith, in U.S. Pat. No. 3,952,099, discloses dermatological compositions for enhancing the penetration of pharmacologically active agents, such compositions comprising a sugar ester in combination with a sulfoxide or phosphine oxide.

Brief Summary Text (37):

Lecithin is described as a hygroscopic waxy solid which only forms an emulsion after dissolution with an organic solvent. The phosphatidylcholine (PC) may be characterized as amphiphilic because a polar head group is hydrophilic and has two lipophilic carbon tails. This amphiphilic property permits the surface polar heads in the aqueous phase to contract, assuming the shape of sphere. Lecithin emulsions are aggregates of micelles in water and inherently have poor stability. Williman et al., Journal of Pharmaceutical Sciences 81:871-874 (1992), found that PC, with a minimum purity of 95%, formed giant spaghetti-like micellar gels after it was dissolved in an appropriate nontoxic organic solvent. This structure is called a lecithin organogel and is thought to have a linear rather than the usual spherical structure. While not wanting to be bound by the following statement, it may be reasonable to assume the water molecules at the polar head of the PC promote additional cohesion by hydrogen bonding and thereby promote gel formation. Soy lecithin containing less than 95% PC will not gel. PC of 95% purity is expensive and what is needed is a composition and method which is cost-effective as well as safe for daily use.

Brief Summary Text (44):

The "enhanced penetration" caused by compositions of this invention as used in topical application with this method, means increased penetration into the skin, and is achieved with compounds such as lecithin organogel, poloxamer organogel, phospholipid gels or poloxamer phospholipid gels including but not limited to phosphatidylethanamine, phosphatidylserine, phosphatidylinositol, and phosphatidic acid and phosphatidylcholine optionally combined with n-decylmethyl sulfoxide (NDMS), PLURONIC F127, ethoxy diglycol, ethanol, or cholesterol. Enhanced penetration can be observed in many ways known to those skilled in the art.

Brief Summary Text (47):

Solvents used in the preparation of a variety of gels, including lecithin gels, all of which are appropriate in practicing the present invention, are described in Scartazzini, et al. Journal of Physical Chemistry 92:829-833, 1988, and Luisi, P. L. et al. Colloid and Polymer Science 268:356-374, 1990, both of which are incorporated herein by reference in their entirety. Specifically these solvents include the following: ethyl laurate, butyl laurate, ethyl myristate, isopropyl myristate, isopropyl palmitate, isoctane, cyclooctane, cyclododecane, methyl cyclohexane, tert-butylcyclohexane, phenylcyclohexane, bicyclohexyl, 1,3,5-trisopropylbenzene, octylbenzene, trans-decalin, (1R)-(+)-trans-pinane, (1R)-(+)-cis-pinane, n-pentane, n-hexane, n-heptane, n-octane, n-nonane, n-decane, n-undecane, n-dodecane, n-tridecane, n-tetradecane, n-pentadecane, n-hexadecane, n-heptadecane, 2,3-dimethylbutene, 1-hexene, 1,7-octadiene, tripropylamine, tributylamine, triisobutylamine, trioctylamine, dibutyl ether and 2-dodecen-1-yl

succinic anhydride.

Brief Summary Text (48):

In addition to isopropyl palmitate and isopropyl myristate, other solvents may be used in the practice of the present invention. These solvents include, but are not limited to the following: mineral spirits, kerosene, isoctane, petroleum ether, diethyl ether, benzene, toluene, methanol, ethanol, heptanol, methyl isobutyl ketone, cyclohexanone, methylene chloride, choloroform, chlorodifluoromethane, tetrahydrofuran, oleyoleate, 2-octyldodecanol, cetyl and stearyl 2-ethylhexanoate, n-octanol, ethyl laurate, isoctane, cyclopentane, cyclohexane, and cycloheptane.

Brief Summary Text (49):

In a preferred embodiment, lecithin organogel may be made from PHOSPHOLIPON 90 (American Lecithin Co., Oxford, Conn.). In this embodiment, lecithin organogel comprises 1:1 to 1.5:5 (weight/vol) of PHOSPHOLIPON 90 to isopropyl palmitate. Water is added to form the desired gel. Other penetrating agents including, but not limited to cholesterol (2% to 100%) with a preferred range of cholesterol to PHOSPHOLIPON 90 of 3:7 to 3:10. These ingredients are combined with sufficient ethanol to solubilize the mixture. Ethanol is subsequently evaporated, leaving a complex of cholesterol:PHOSPHOLIPON 90. Alternatively, 3.5%-8% ethanol may be retained in the complex to enhance penetration.

Brief Summary Text (50):

NDMS (PCAA Kinghurst, Houston, Tex.) is optionally present in the composition of the present invention at a concentration of between approximately 0.1% and 1% by weight, with a preferred concentration of between approximately 0.15% and 0.8% by weight, with the most preferred concentration of approximately 0.5% by weight. NDMS is dissolved in 10 mL of a 75% solution of ethanol. Finally, purified water is added. Ethanol (98%) may also be used to dissolve lecithin and then either boiled off completely or partially to leave a final ethanol concentration of 3% to 8.5%. While not wanting to be bound by the following statement, it is believed that 3% to 8.5% ethanol may enhance penetration.

Brief Summary Text (51):

Another preferred penetrating agent and delivery vehicle is lecithin organogel which is a combination of lecithin, isopropyl palmitate, or isopropyl myristate and water. Lecithin organogels have been described as vehicles that are useful in facilitating the delivery of low molecular weight compounds transdermally (Williman, H., et al., "Lecithin Organogel as Matrix for Transdermal Transport of Drugs", J. Pharm. Sci., Vol. 81, 1992, which is incorporated herein by reference). The lecithin organogels are obtained by adding small amounts of water to a solution of lecithin in organic solvents. Generally, lecithin organogels are prepared at room temperature by first dissolving lecithin in an organic solvent such as isopropyl palmitate or isopropyl myristate and then adding enough water while stirring to obtain the desired gel. Lecithin used in the gel preparations of the present invention generally contain at least 95% phosphatidylcholine.

Brief Summary Text (52):

The method and composition for the delivery of molecules through the skin for remoisturization and rejuvenation utilizes isopropyl myristate (IPM), isopropyl palmitate (IPP), and/or ethanol to dissolve lecithin which is necessary to form an emulsion. However, IPP and IPM can be irritating to sensitive facial skin and may produce comedowns. The invention discloses a method and composition which eliminates these risks. Ethanol is an excellent solvent as well as penetration enhancer. Ethanol may be used to dissolve the lecithin in the lipid phase. Next the ethanol is evaporated at 80.degree. C. The aqueous phase, containing the PLURONIC, and lipid phase are heated to 60.degree. C. and mixed together while stirring to make a PLURONIC organogel.

Brief Summary Text (54):

Although not wanting to be bound by the following hypothesis, it is believed that the method and composition of the present invention cause an increase in the water content of the skin, perhaps by increasing the fluid content of the epidermis and dermis. It is believed that the composition of the invention enhances diffusion of moisturizers, surfactants, and emollients into and possibly through the epidermal and dermal layers of the skin. It is understood that the present invention also encompasses a method and composition for delivery of molecules into the skin. These molecules optionally include, but are not limited to, elastin, elastin fragments, elastin-glycolic acid, collagen, collagen fragments, yeast extracts (skin respiratory factor), glucosamine, glucosamine sulfate, hyaluronic acid, hyaluronate, chondroitin sulfate, cholic acid, deoxycholic acid, ginseng extract, aloe vera powder, aloe vera oil, RNA and DNA fragments, ascorbyl palmitate, ascorbic acid, retinal palmitate, 7-dehydroxycholesterol, vitamin E tocopherol, vitamin E lineolate, panthenyl ethyl ester, glycerol ceramides, glycogen, DL-pyroglutamic acid, urea, sodium lactate, lactate, glycerin, sorbitol, oils of borage, evening primrose, black currant, almond and canola, vanishing cream (polyaxyl 40 stearate, stearic acid, cetyl alcohol and stearyl alcohol), cholesterol, flavonoids (rutin, quercitin, hesperetin, hesperidin, diosmin and naringen), witch hazel (*Hamamelis virginia*), camomile (*matri-caria Camomilla linne*), parsley (*Petroselinum crispum*), hibiscus (*Hibiscus sabdariffalinne*), capric and caprylic triglycerides, amino acids (serine, lysine, glycine, alanine, arginine, aspartic acid, glutamic acid, hydroxyproline, proline, cysteine), allantoin, sodium, calcium, potassium, phosphate, and chloride, sodium lactate), alpha hydroxy acids (lactic, glycolic, citric, malonic and ammonium lactate), cocoa butter, coconut oil, jojoba oil, safflower oil, wheat germ oil, sesame oil, selachyl alcohol, shark oil, cerebrosides, proanthocyanidin, farnesol, candelilla and carnauba wax, vitamin E nicotinate, manganese ascorbate, zinc, oleyl alcohol and polysorbate 80, spermaceti, glycerol monostearate, beeswax, silicone oil, paraffin wax, ozokerit E, PEG 75 lanolin. N-decylmethyl sulfoxide is optionally included in the composition in a final concentration range of from 0.01% to 1% with a preferred range of 0.1% to 0.5%.

Brief Summary Text (56):

A gelling agent optionally may be added to the formulation. Gelling agents that are suitable for use in the present invention include, but are not limited to, cellulose ethers, alginates, polyacrylates, bentonite, gelatin, tragacanth, carbomer 940, polyvinylpyrrolidone, polyvinyl alcohol, and polyoxyethylene/polyoxypropylene block copolymers, some of which are known as poloxamers. The poloxamer compounds are sold collectively under the trademark PLURONIC (BASF, Parsippany, N.J.). PLURONIC F-127 corresponds to poloxamer 407. Other PLURONICS may be used in the present invention.

Brief Summary Text (57):

Optionally, a preservative, such as benzyl alcohol, EDTA, vitamin E tocopherol, ascorbyl palmitate, ascorbic acid, alpha lipoic acid or sorbic acid, can be added to the composition. Other preservatives well known to those of ordinary skill in the art can be used in the composition.

Detailed Description Text (7):

In another embodiment of the present invention, the composition described in Example 1 was prepared using lecithin organogel without the addition of the PLURONIC gel 20% stock solution. The final concentration of lecithin organogel was in the range of 20-40% by modifying the ratio of lecithin organogel to water.

Detailed Description Text (24):

Approximately 95% pure lecithin may be dissolved in isopropyl palmitate or isopropyl myristate on a weight basis of 1 g of lecithin per about 0.5 to 1.5 g of isopropyl palmitate or isopropyl myristate. The preferred ratio of lecithin to these solvents is about 1 g to about 0.75 g to 1 g. Next ethanol (98%) may be added while stirring at 80 degree C. until the alcohol is boiled off. Water is then

added with stirring at approximately 20 to 40% with a preferred concentration of about 30%.

Detailed Description Text (27):

A penetration enhancer of the present invention is PLURONIC F-127 (BASF, Parsippany, N.J.) which permits use of lecithins of lesser purity than those required in formation of lecithin organogels as taught by Williman et al. PLURONIC F-127 is employed at concentrations of about 0.1% to 45% in a ratio PLURONIC to lecithin of about 1:0.5 to 1:6.0. A preferred final concentration of PLURONIC F-127 is 5% to 20% in a ratio of PLURONIC to lecithin of 1:2 to 1:4. Lecithins of concentrations of approximately 5% to 90% are first dissolved in isopropyl palmitate, isopropyl myristate and/or 98% ethanol. The addition of four parts of PLURONIC F-127 (20% solution) to the dissolved lecithin produces a cost effective gel. In addition, water, carboxyethyl cellulose, carboxymethyl cellulose, other PLURONICS, and other agents known to one skilled in the art may be used. These mixtures are known PLURONIC organogels or poloxamer organogels.

Detailed Description Text (34):

Lecithin Dissolved in Ethanol Which is Then Evaporated

Detailed Description Text (36):

Part 2 was heated to 60.degree. C. while stirring, thereby dissolving the lecithin and evaporating the ethanol. Ethanol was added to Part 2 at 80.degree. C. while stirring. After the ethanol evaporated, part 2 was added to part 1 while stirring mechanically. This mixture was stirred until a gel formed at about 60.degree. C. Fragrance was optionally added with part 3 at 35.degree. C. to the batch while mechanically stirring.

Detailed Description Paragraph Table (1):

Part 1 Lecithin 8120 7.5% Deionized water 15% DL-pyroglutamic acid 5% Urea 2% Glucosamine/glucosamine 2% sulfate Manganese ascorbate 0.5% PL127 20% 14% Panthenyl ethyl ester 1% Part 2 Glycerol 5% Aloe vera oil 3% Almond oil 2% Vanishing cream (stearyl 8.5 g <u>alcohol</u> , steric acid, Polyaxyl 40 stearate) Squalene 2% Part 3 Retinal palmitate 10,000 units/gm: 1% 7 dehydrocholesterol 1,000 units/gm 0.1% Ascorbyl palmitate 2 ml 2% Vitamin E tocopherol 1 ml 1% Proanthocyanidin 1 ml 1%

Detailed Description Paragraph Table (2):

Part 1 Deionized water 38.5% PL127 20% 13% Glucosamine/glucosamine 2% sulfate Allantoin 1% Ammonium lactate 5% dl-pyroglutamic acid 2% Part 2 Vanishing cream 7% Cholesterol 5% Aloe vera oil 5% Glycerol 5% Safflower oil 2% Borage oil 2% Phospholipon 90H 7.5% <u>ethanol</u> 20 ml Part 3 Retinal palmitate 1% 7 dehydrocholesterol 1% Ascorbyl palmitate 2% Vitamin E tocopherol 1% Proanthocyanidin 1%
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CLAIMS:

3. The method of claim 2, wherein the solvent is isopropyl palmitate, isopropyl myristate, ethyl myristate, 2-octyldodecanol or ethanol.

15. The method of claim 1, further comprising molecules selected from the group consisting of elastin, elastin fragments, elastin-glycolic acid, collagen, collagen fragments, yeast extracts, skin respiratory factor, glucosamine, glucosamine sulfate, hyaluronic acid, hyaluronate, chondroitin sulfate, cholic acid, deoxycholic acid, ginseng extract, aloe vera powder; aloe vera oil, RNA and DNA fragments, ascorbyl palmitate, ascorbic acid, retinal palmitate, 7-dehydroxycholesterol, vitamin E tocopherol, vitamin E linoleate, panthenyl ethyl ester, glycerol ceramides, glycogen, DL-pyroglutamic acid, urea, sodium lactate, lactate, glycerin, sorbitol, oils of borage, evening primrose, black currant, almond and canola, vanishing cream, cholesterol, flavonoids, witch hazel,

camomile, parsley, hibiscus, capric and caprylic triglycerides, amino acids, allantoin, sodium, calcium, potassium, phosphate, chloride, sodium lactate, alpha hydroxy acids, cocoa butter, coconut oil, jojoba oil, safflower oil, wheat germ oil, sesame oil, selachyl alcohol, shark oil, cerebrosides, proanthocyanidin, farnestol, candeellila, carnuba wax, vitamin E nicotinate, manganese ascorbate, zinc, oleyl alcohol, polysorbate 80, spermaceti, glycerol monostearate, beeswax, silicone oil, paraffin wax, ozokerit E, and PEG 75 lanolin.

16. The method of claim 2, further comprising molecules selected from the group consisting of elastin, elastin fragments, elastin-glycolic acid, collagen, collagen fragments, yeast extracts, skin respiratory factor, glucosamine, glucosamine sulfate, hyaluronic acid, hyaluronate, chondroitin sulfate, cholic acid, deoxycholic acid, ginseng extract, aloe vera powder, aloe vera oil, RNA and DNA fragments, ascorbyl palmitate, ascorbic acid, retinal palmitate, 7-dehydrocholesterol, vitamin E tocopherol, vitamin E lineolate, panthenyl ethyl ester, glycerol ceramides, glycogen, DL-pyroglutamic acid, urea, sodium lactate, lactate, glycerin, sorbitol, oils of borage, evening primrose, black currant, almond and cannola, vanishing cream, cholesterol, flavonoids, witch hazel, camomile, parsley, hibiscus, capric and caprylic triglycerides, amino acids, allantoin, sodium, calcium, potassium, phosphate, chloride, sodium lactate, alpha hydroxy acids, cocoa butter, coconut oil, jojoba oil, safflower oil, wheat germ oil, sesame oil, selachyl alcohol, shark oil, cerebrosides, proanthocyanidin, farnestol, candeellila, carnuba wax, vitamin E nicotinate, manganese ascorbate, zinc, oleyl alcohol, polysorbate 80, spermaceti, glycerol monostearate, beeswax, silicone oil, paraffin wax, ozokerit E, and PEG 75 lanolin.

20. The method of claim 2, wherein the solvent is ethanol, and the composition further comprises poloxamer, glucosamine, glucosamine sulfate, allantoin, ammonium lactate, pyroglutamic acid, vanishing cream, cholesterol, aloe vera oil, glycerol, safflower oil, borage oil, retinal palmitate, dehydrocholesterol, ascorbyl palmitate, vitamin E tocopherol and proanthocyanidin.

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L2: Entry 35 of 65

File: USPT

Aug 5, 1997

DOCUMENT-IDENTIFIER: US 5654337 A

TITLE: Topical formulation for local delivery of a pharmaceutically active agent

Brief Summary Text (16):

Luisi et al., 1990, provides a review of a new class of gels called lecithin gels. They note that gelation of lecithin (50-200 mM) in an organic solvent, occurs upon addition of between 1 to 12 moles of water per mole of lecithin, depending on which of the 50 different organic solvents tested is used to dissolve the lecithin. The physico-chemical properties of these organogels are discussed, and a model, which attempts to account for the peculiar viscosity characteristics of the gels, is proposed.

Drawing Description Text (2):

This invention relates to a composition useful in the delivery of pharmaceutically active agents through the skin. The composition comprises a mixture of a polar lipid such as lecithin or phosphatidylcholine, a biocompatible organic solvent such as an isopropyl palmitate or isopropyl myristate ester, water, urea, and a biocompatible surfactant such as docusate sodium, docusate sodium benzoate, or ibuprofen, at a pH of between about 6.0 and 8.0. In addition, the composition may optionally include cholesterol, or a preservative such as benzyl alcohol. Upon formulation of this composition with the pharmaceutically active agent, and, upon bringing the pH to the desired range, the formulation thickens and forms a gel for topical administration. In one embodiment of the invention, the composition is formulated with a non-steroidal anti-inflammatory agent, such as ibuprofen or ketoprofen. Such formulation is rapidly absorbed through the skin and provides local relief from pain. In another embodiment of the invention, the composition is formulated with an antineoplastic or other pharmaceutically-active agent. Such formulation is rapidly absorbed through the skin to provide local delivery to subcutaneous tumors and other subdermal sites in need of treatment. Several other formulations are also disclosed, such as those active as a muscle relaxant by virtue of an included active compound such as cyclobenzaprine.

Detailed Description Text (2):

This invention relates to a composition useful in the delivery of pharmaceutically active agents through the skin. The composition comprises a mixture of a polar lipid such as lecithin or phosphatidylcholine, a biocompatible organic solvent such as isopropyl palmitate or isopropyl myristate esters, a surfactant, water, and urea, at a pH of between about 6.0 and 8.0 and preferably between 6.0 and 7.0. In addition, the composition may optionally include cholesterol or a preservative such as benzyl alcohol.

Detailed Description Text (17):

In another aspect of this invention, topical application of a hair growth enhancer is achieved by incorporation into the composition of an agent such as minoxidil. A concentration of about 0.1% to about 10%, and preferably about 2% minoxidil in the composition of this invention is desirable. In addition, a composition comprising an inhibitor of testosterone 5-.alpha. reductase, such as finasteride, could be used to advantage for this and other purposes. Finally, compositions comprising a mixture of minoxidil and a testosterone 5-.alpha. reductase inhibitor would be very beneficial for inducing increased hair growth. Because of the very good skin

penetration achieved using the composition of this invention, lower doses of minoxidil could be delivered than are currently used in such formulations as ROGAINE.RTM., which is 2% minoxidil in a solution of alcohol 60% v/v, propylene glycol and water.

Detailed Description Text (71):

1. The salicylic acid, trolamine, alcohol and tween were combined, triturated and heated to form a clear solution.

Detailed Description Paragraph Table (13):

	100 gm
	Ibuprofen 20 gm L.O. 25 gm Urea 10 gm Water
36 gm Benzyl Alcohol 1 ml 30% NaOH 5 ml	

Detailed Description Paragraph Table (14):

	30 gm
Salicylic acid 1.5 gm Trolamine 1.5 gm Ethyl <u>Alcohol</u> (95%) 1.0 ml Tween 80 1 gm	
Speed-Gel 16 gm L.O. 9 gm	

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